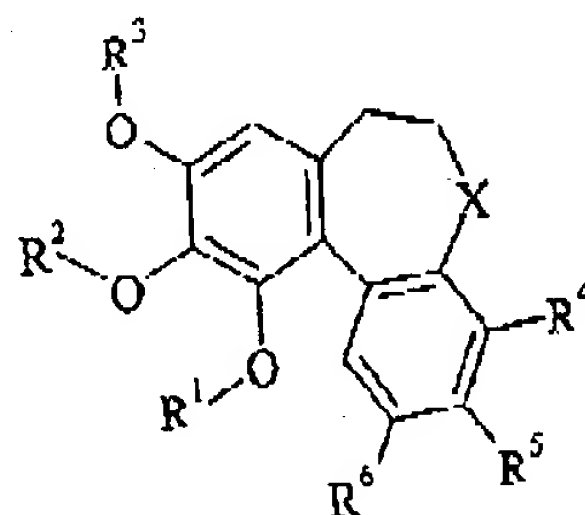


IN THE CLAIMS:

Claim 1 (canceled).

Claim 2 (currently amended and reformatted): A compound of the formula IIa:



(IIa)

wherein

X is $-\text{CH}(\text{R}^7)-$ wherein R^7 is hydrogen, hydroxy, C_{1-7} alkoxy, $-\text{OR}^8$ or $-\text{NR}^8\text{R}^9$, wherein R^8 is a group $-\text{Y}^1\text{R}^{10}$, wherein Y^1 is a direct bond, $-\text{C}(\text{O})-$, $-\text{C}(\text{S})-$, $-\text{S}-$, $-\text{C}(\text{O})\text{O}-$, $-\text{C}(\text{O})\text{NR}^{11}-$, $-\text{SO}_2-$ or $-\text{SO}_2\text{NR}^{12}-$ (wherein R^{11} and R^{12} , which may be the same or different, each independently represents hydrogen, C_{1-3} alkyl or C_{1-3} alkoxy C_{2-3} alkyl) and R^{10} is selected from one of the following nine groups:

- 1) hydrogen, C_{1-7} alkyl, C_3 cycloalkyl, C_{1-4} alkyl Y^8C_{1-4} alkyl wherein Y^8 is as defined herein, or phenyl,

which alkyl, cycloalkyl, alkyl Y^8 alkyl or phenyl group may bear one or more substituents selected from: halogeno, amino, C_{1-4} alkylamino, di(C_{1-4} alkyl)amino, hydroxy, carboxy, carbamoyl, C_{1-4} alkoxy, C_{1-4} alkylsulphanyl, C_{1-4} alkylsulphonyl, C_{1-4} alkoxycarbonylamino, C_{1-4} alkanoyl, phenyl, nitro, sulphate, phosphate, Z^1 .

wherein Z^1 represents a 5-6 membered saturated heterocyclic group (linked via carbon or nitrogen) with 1-2 heteroatoms, selected independently from O, S and N, which heterocyclic group may bear 1 or 2 substituents selected from oxo, hydroxy, halogeno, C_{1-4} alkyl, C_{1-4} hydroxyalkyl, C_{1-4} alkoxy, C_{1-4} aminoalkyl, C_{1-7} alkanoyl, cyano C_{1-4} alkyl, C_{1-4} alkoxy C_{1-4} alkyl, C_{1-4} alkylsulphonyl C_{1-4} alkyl and Z^2 ;

~~(wherein Z^2 is a 5-6 membered saturated heterocyclic group (linked via carbon or nitrogen) with 1-2 heteroatoms, selected independently from O, S and N, which heterocyclic group may bear 1 or 2 substituents selected from oxo, hydroxy, halogeno, C_{1-4} alkyl, C_{1-4} hydroxyalkyl, C_{1-4} alkoxy, C_{1-4} aminoalkyl, C_{1-7} alkanoyl, cyano C_{1-4} alkyl, C_{1-4} alkoxy C_{1-4} alkyl and C_{1-4} alkylsulphonyl C_{1-4} alkyl,~~

C_{1-4} alkyl Z^1 (wherein Z^1 is as defined herein), and a group $-Y^2R^{13}$,
wherein

Y^2 is $-NR^{14}C(O)-$ or $-O-C(O)-$ (wherein R^{14} represents hydrogen, C_{1-3} alkyl or C_{1-3} alkoxy C_{2-3} alkyl) and

R^{13} is C_{1-7} alkyl, C_{3-7} cycloalkyl or a group R^{15} wherein R^{15} is a phenyl group or a 5-10-membered aromatic heterocyclic group (linked via carbon or nitrogen) with 1-4 heteroatoms selected independently from O, N and S, which phenyl or aromatic heterocyclic group may bear one or more substituents selected from hydroxy, nitro, halogeno, amino, C_{1-4} alkyl, C_{1-4} haloalkyl, C_{1-4} alkoxy, C_{1-4} hydroxyalkyl, C_{1-4} aminoalkyl, C_{1-4} alkylamino, C_{1-4} hydroxyalkoxy, carboxy, cyano, $-CONR^{16}R^{17}$ and $-NR^{18}COR^{19}$ (wherein R^{16} , R^{17} , R^{18} and R^{19} , which may be the

same or different, each represents hydrogen, C₁₋₃alkyl or
C₁₋₃alkoxyC₂₋₃alkyl ~~C₁₋₃alkoxyC₂₋₃alkyl~~;

- 2) R¹⁵ wherein R¹⁵ is as defined herein;
- 3) C₂₋₇alkenylR¹⁵ (wherein R¹⁵ is as defined herein);
- 4) C₂₋₇alkynylR¹⁵ (wherein R¹⁵ is as defined herein);
- 5) Z¹ (wherein Z¹ is as defined herein);
- 6) C₁₋₇alkylZ¹ (wherein Z¹ is as defined herein);
- 7) C₁₋₇alkylY⁸Z¹, wherein C₁₋₇alkylY⁸Z¹ (wherein

Z¹ is as defined herein and

Y⁸ is -C(O)-, -NR⁵⁹C(O)-, -NR⁵⁹C(O)C₁₋₄alkyl-, -C(O)NR⁶⁰- or
-C(O)NR⁶⁰C₁₋₄alkyl-, (wherein R⁵⁹ and R⁶⁰, which may be the same
or different, each represents hydrogen, C₁₋₃alkyl, C₁₋₃hydroxyalkyl
or C₁₋₃alkoxyC₂₋₃alkyl ~~C₁₋₃alkoxyC₂₋₃alkyl~~);

- 8) (C₁₋₇alkyl)_cY⁹Z³, wherein (C₁₋₇alkyl)_cY⁹Z³ (wherein

c is 0 or 1,

Z³ is an amino acid group and

Y⁹ is a direct bond, -C(O)- or NR⁶¹- (wherein R⁶¹ is hydrogen,
C₁₋₃alkyl or C₁₋₃alkoxyC₂₋₃alkyl ~~C₁₋₃alkoxyC₂₋₃alkyl~~); and

- 9) C₁₋₇alkylR¹⁵ (wherein R¹⁵ is as defined herein); and

R⁹ is hydrogen, C₁₋₇alkyl or C₃₋₇cycloalkyl, which alkyl or cycloalkyl group may
bear one or more substituents selected from C₁₋₄alkoxy and phenyl;

R¹, R² and R³ are each independently hydrogen, PO₃H₂, sulphate, C₃₋₇cycloalkyl,
C₂₋₇alkenyl, C₂₋₇alkynyl, C₁₋₇alkanoyl, a group R²⁰C₁₋₇alkyl (wherein R²⁰ is phenyl
which may bear one or more substituents selected from C₁₋₄alkyl, C₁₋₄alkoxy,
C₁₋₄aminoalkyl and C₁₋₄hydroxyalkoxy), C₁₋₇alkyl or C₁₋₇alkylsulphonyl,

which alkyl or alkylsulphonyl group may bear one or more substituents selected from: halogeno, amino, C₁₋₄alkylamino, di(C₁₋₄alkyl)amino, hydroxy, C₁₋₄alkoxy, C₁₋₄alkylsulphanyl, C₁₋₄alkylsulphonyl, C₁₋₄alkoxycarbonylamino, C₁₋₄alkanoyl, carboxy, phenyl, nitro, sulphate, phosphate and a group $-Y^2R^{21}$, wherein $-Y^2R^{21}$ (wherein

Y^2 is $-NR^{22}C(O)-$ or $O-C(O)-$ (wherein R^{22} represents hydrogen, C₁₋₃alkyl or C₁₋₃alkoxyC₂₋₃alkyl) and

R^{21} is C₁₋₇alkyl, C₃₋₇cycloalkyl or a group R^{23} wherein R^{23} is a phenyl group or a 5-10-membered aromatic heterocyclic group (linked via carbon or nitrogen) with 1-4 heteroatoms selected independently from O, N and S, which phenyl or aromatic heterocyclic group may bear one or more substituents selected from hydroxy, nitro, halogeno, amino, C₁₋₄alkyl, C₁₋₄haloalkyl, C₁₋₄alkoxy, C₁₋₄hydroxyalkyl, C₁₋₄aminoalkyl, C₁₋₄alkylamino, C₁₋₄hydroxyalkoxy, carboxy, cyano, $-CONR^{24}R^{25}$ and $-NR^{26}COR^{27}$ (wherein R^{24} , R^{25} , R^{26} and R^{27} , which may be the same or different, each represents hydrogen, C₁₋₃alkyl or C₁₋₃alkoxyC₂₋₃alkyl);

with the proviso that at least two of R^1 , R^2 and R^3 are C₁₋₇alkyl;

R^4 is hydrogen, cyano, halogeno, nitro, amino, hydroxy, C₁₋₇alkoxy, C₁₋₇thioalkoxy, C₁₋₇alkanoyl or C₁₋₇alkyl,

which alkyl group may bear one or more substituents selected from: halogeno, amino, C₁₋₄alkylamino, di(C₁₋₄alkyl)amino, hydroxy, C₁₋₄alkoxy, C₁₋₄alkylsulphanyl, C₁₋₄alkylsulphonyl, C₁₋₄alkoxycarbonylamino, C₁₋₄alkanoyl, carboxy, phenyl, nitro, sulphate, phosphate and a group $-Y^3R^{28}$, $-Y^3R^{28}$ wherein

Y^3 is $-NR^{29}C(O)-$ or $-O-C(O)-$ (wherein R^{29} represents hydrogen, C₁₋₃alkyl or C₁₋₃alkoxyC₂₋₃alkyl) and

R^{28} is C₁₋₇alkyl, C₃₋₇cycloalkyl or a group R^{30} wherein R^{30} is a phenyl group or a 5-10-membered aromatic heterocyclic group (linked via carbon or nitrogen) with 1-4 heteroatoms selected independently from O, N and S, which phenyl or aromatic heterocyclic group may bear one or more substituents selected

from hydroxy, nitro, halogeno, amino, C_{1-4} alkyl, C_{1-4} haloalkyl, C_{1-4} alkoxy, C_{1-4} hydroxyalkyl, C_{1-4} aminoalkyl, C_{1-4} alkylamino, C_{1-4} hydroxyalkoxy, carboxy, cyano, $-CONR^{31}R^{32}$ and $-NR^{31}COR^{32}$ (wherein R^{31} , R^{32} , R^{33} and R^{34} , which may be the same or different, each represents hydrogen, C_{1-3} alkyl or C_{1-3} alkoxy C_{2-3} alkyl);

R^5 and R^6 are each independently selected from hydrogen, $-OPO_3H_2$, phosphonate, cyano, halogeno, nitro, amino, carboxy, carbamoyl, hydroxy, C_{1-7} alkoxy, C_{1-7} alkanoyl, C_{1-7} thioalkoxy, C_{1-7} alkyl,

which alkyl group may bear one or more substituents selected from: halogeno, amino, C_{1-4} alkylamino, $di(C_{1-4}alkyl)amino$, hydroxy, C_{1-4} alkoxy, C_{1-4} alkylsulphanyl, C_{1-4} alkylsulphonyl, C_{1-4} alkoxycarbonylamino, C_{1-4} alkanoyl, carboxy, phenyl, sulphate, phosphate and a group $-Y^3R^{28}$, wherein $-Y^3R^{28}$

(wherein Y^3 is $NR^{29}C(O)-$ or $-O-C(O)-$ (wherein R^{29} represents hydrogen, C_{1-3} alkyl or C_{1-3} alkoxy C_{2-3} alkyl) and

R^{28} is C_{1-7} alkyl, C_{3-7} cycloalkyl or a group R^{30} wherein R^{30} is a phenyl group or a 5-10 membered aromatic heterocyclic group (linked via carbon or nitrogen) with 1-4 heteroatoms selected independently from O, N and S, which phenyl or aromatic heterocyclic group may bear one or more substituents selected from hydroxy, nitro, halogeno, amino, C_{1-4} alkyl, C_{1-4} haloalkyl, C_{1-4} alkoxy, C_{1-4} hydroxyalkyl, C_{1-4} aminoalkyl, C_{1-4} alkylamino, C_{1-4} hydroxyalkoxy, carboxy, cyano, $-CONR^{31}R^{32}$ and $-NR^{31}COR^{32}$ (wherein R^{31} , R^{32} , R^{33} and R^{34} , which may be the same or different, each represents hydrogen, C_{1-3} alkyl or C_{1-3} alkoxy C_{2-3} alkyl) C_{1-3} alkoxy C_{2-3} alkyl), and

a group $-Y^4R^{35}$, $-Y^4R^{36}$ wherein

Y^4 is $-C(O)-$, $-OC(O)-$, $-O-$, $-SO-$, $-SO_2-$, $-OSO_2-$, $-NR^{36}-$, $-C_{1-4}alkylNR^{36}-$, $-C_{1-4}alkylC(O)-$, $-NR^{37}C(O)-$, $OC(O)O-$, $-C(O)NR^{38}-$ or $-NR^{39}C(O)O-$ (wherein R^{36} , R^{37} , R^{38} and R^{39} , which may be the same or different, each represents hydrogen, C_{1-3} alkyl or C_{1-3} alkoxy C_{2-3} alkyl) and

R^{35} is a sugar moiety, a mono-peptide, a di-peptide, a tri-peptide, a tetra-peptide, sulphate, hydroxy, amino, C_{1-7} alkyl, C_{1-7} alkoxy, C_{1-7} alkanoyl, C_{1-7} alkylamino, $di(C_{1-7}$ alkyl)amino, amino C_{1-7} alkylamino, C_{1-7} alkylamino C_{1-7} alkylamino, C_{1-7} alkanoylamino C_{1-7} alkyl, $di(C_{1-7}$ alkyl)amino C_{1-7} alkylamino, C_{1-7} alkylphosphate, C_{1-7} alkylphosphonate, C_{1-7} alkylcarbamoyl C_{1-7} alkyl,

which (~~wherein~~ alkyl, alkoxy, alkanoyl, alkylamino, dialkylamino, aminoalkylamino, alkylaminoalkylamino, alkanoylaminoalkyl, dialkylaminoalkylamino, alkylphosphate, alkylphosphonate or alkylcarbamoylalkyl, may bear one or more substituents selected from: halogeno, amino, C_{1-4} alkylamino, $di(C_{1-4}$ alkyl)amino, hydroxy, C_{1-4} hydroxyalkyl, C_{1-4} alkoxy, C_{1-4} alkylsulphanyl, C_{1-4} alkylsulphonyl, C_{1-4} alkoxycarbonylamino, C_{1-4} alkanoyl, carboxy, phenyl, nitro, sulphate, phosphate and a group $-Y^5R^{40}$, wherein ~~$-Y^5R^{40}$~~ (~~wherein~~

Y^5 is $-NR^{41}C(U)-$, $C(O)NR^{42}-$, $-C(O)-O-$ or $-O-C(O)-$ (wherein R^{41} and R^{42} which may be the same or different each represents hydrogen, C_{1-3} alkyl or C_{1-3} alkoxy C_{2-3} alkyl) and

R^{40} is C_{1-7} alkyl, C_{3-7} cycloalkyl, carboxy C_{1-7} alkyl or a group R^{43} wherein R^{43} is a phenyl group, a benzyl group or a 5-10-membered aromatic heterocyclic group (linked via carbon or nitrogen) with 1-4 heteroatoms selected independently from O, N and S, which phenyl, benzyl or aromatic heterocyclic group may bear one or more substituents selected from hydroxy, nitro, halogeno, amino, C_{1-4} alkyl, C_{1-4} haloalkyl, C_{1-4} alkoxy, C_{1-4} hydroxyalkyl, C_{1-4} aminoalkyl, C_{1-4} alkylamino, C_{1-4} hydroxyalkoxy, carboxy, cyano, $-CONR^{44}R^{45}$ and $-NR^{46}COR^{47}$ (wherein R^{44} , R^{45} , R^{46} and R^{47} , which may be the same or different, each represents hydrogen, C_{1-3} alkyl or C_{1-3} alkoxy C_{2-3} alkyl) ~~C_{1-3} alkoxy C_{2-3} alkyl~~),

R^{48} , wherein R^{48} (~~wherein R^{48}~~ is a phenyl group, a benzyl group or a 5-10-membered aromatic heterocyclic group (linked via carbon or nitrogen) with

1-4 heteroatoms selected independently from O, N and S, which phenyl, benzyl or aromatic heterocyclic group may bear one or more substituents selected from

hydroxy, nitro, halogeno, amino, C₁₋₄alkyl, C₁₋₄haloalkyl, C₁₋₄alkoxy, C₁₋₄hydroxyalkyl, C₁₋₄aminoalkyl, C₁₋₄alkylamino, di(C₁₋₄alkyl)amino, di(C₁₋₄alkyl)aminoC₁₋₄alkyl, di(C₁₋₄hydroxyalkyl)aminoC₁₋₄alkyl, di(C₁₋₄aminoalkyl)aminoC₁₋₄alkyl, C₁₋₄hydroxyalkoxy, carboxy, C₁₋₄carboxyalkyl, phenyl, cyano, -CONR⁴⁹R⁵⁰, -NR⁵¹COR⁵² (wherein R⁴⁹, R⁵⁰, R⁵¹ and R⁵², which may be the same or different, each represents hydrogen, C₁₋₄alkyl or C₁₋₃alkoxyC₂₋₃alkyl) and C₁₋₄alkylR⁵³ (wherein R⁵³ is as defined herein),

C₁₋₇alkylR⁴⁸ (wherein R⁴⁸ is as defined herein),

R⁵³, wherein R⁵³ (wherein R⁵³ is a 5-6-membered saturated heterocyclic group (linked via carbon or nitrogen) with 1-2 heteroatoms, selected independently from O, S and N, which heterocyclic group may bear 1 or 2 substituents selected from

oxo, hydroxy, halogeno, C₁₋₄alkyl, C₁₋₄hydroxyalkyl, C₁₋₄alkoxy, C₁₋₄carboxyalkyl, C₁₋₄aminoalkyl, di(C₁₋₄alkyl)aminoC₁₋₄alkyl, C₁₋₄alkoxyC₁₋₄alkyl, C₁₋₄alkylsulphonylC₁₋₄alkyl and R⁵⁴, wherein R⁵⁴ (wherein R⁵⁴ is a 5-6-membered saturated heterocyclic group (linked via carbon or nitrogen) with 1-2 heteroatoms, selected independently from O, S and N, which heterocyclic group may bear 1 or 2 substituents selected from

oxo, hydroxy, halogeno, C₁₋₄alkyl, C₁₋₄hydroxyalkyl, C₁₋₄alkoxy, C₁₋₄alkoxyC₁₋₄alkyl and C₁₋₄alkylsulphonylC₁₋₄alkyl C₁₋₄alkylsulphonylC₁₋₄alkyl), or

(CH₂)_aY⁶(CH₂)_bR⁵³, wherein (CH₂)_aY⁶(CH₂)_bR⁵³ (wherein

R⁵³ is as defined herein, a is 0, or an integer 1-4,

b is 0 or an integer 1-4 and

Y^6 represents a direct bond, $-O-$, $-C(O)-$, $-NR^{55}-$, $-NR^{56}C(O)-$ or $-C(O)NR^{57}$,
(wherein R^{55} , R^{56} , and R^{57} , which may be the same or different, each
represents hydrogen, C_{1-3} alkyl or C_{1-3} alkoxy C_{2-3} alkyl),

and wherein one or more of the $(CH_2)_a$ or $(CH_2)_b$ groups may bear one or more
substituents selected from hydroxy, amino and halogeno halogeno)

with the proviso that R^7 is not hydroxy, alkoxy, substituted alkoxy (wherein R^5 is Y^4R^{35}
and Y^4 is $-O-$ and R^{35} is C_{1-7} alkyl bearing one or more substituents selected from the list
given herein), $-OPO_3H_2$, $-O-C_{1-7}$ alkanoyl or benzyloxy;

with the further proviso that at least one of R^5 or R^6 is a group $-Y^4R^{35}$ (wherein Y^4 and
 R^{35} are as defined herein) but with the further provisos

that when R^5 is $-Y^4R^{35}$ and R^6 is hydrogen, hydroxy, methoxy or methoxycarbonyl,
 $-Y^4R^{35}$ is not selected from cases wherein:

Y^4 is $C(O)-$, $-OC(O)-$, $-O-$, $-SO-$, $-OSO_2-$, $-NR^{36}-$, $-NR^{37}C(O)-$ or $-C(O)NR^{38}$,
(wherein R^{36} , R^{37} and R^{38} , which may be the same or different, each represents
hydrogen, C_{1-3} alkyl or C_{1-3} alkoxy C_{2-3} alkyl) and

R^{35} is a glycine, valine or lysine group, a dipeptide of glycine and valine groups,
 C_{1-7} alkyl, C_{1-7} alkoxy, C_{1-7} alkanoyl, (which alkyl, alkoxy or alkanoyl may bear
one or more substituents selected from: halogeno, hydroxy, and a group Y^5R^{40}
(wherein Y^5 is $-O-C(O)-$ and R^{40} is C_{1-7} alkyl), C_{1-7} alkyl), or R^{48} , wherein R^{48}
(wherein R^{48} is a tetrazolyl group (which may or may not be substituted as
herein defined), a phenyl group or a benzyl group which phenyl or benzyl group
may bear one or more substituents selected from C_{1-4} alkyl C_{1-4} alkyl); and

that when R^6 is $-Y^4R^{35}$ and R^5 is hydrogen, hydroxy, methoxy or methoxycarbonyl,
 $-Y^4R^{35}$ is not selected from cases wherein:

Y^4 is $-C(O)-$, $-O-$ or $-OSO_2-$ and

R^{35} is C_{1-7} alkyl, C_{1-7} alkoxy (which alkyl, alkoxy or alkanoyl may bear one or more
substituents selected from: halogeno), R^{48} (wherein R^{48} is a benzyl group which

benzyl group may bear one or more substituents selected from C_{1-4} alkyl), or R^{53}
(wherein R^{53} is piperidiny);

or a salt thereof.

Claim 3 (canceled).

Claim 4 (currently amended and reformatted): A compound according to claim 2
wherein

X is $-CH(R^7)-$, $-CH(R^7)-$ wherein

R^7 is $-OR^8$ or $-NR^8R^9$, wherein $-NR^8R^9$ (wherein R^8 is a group $-Y^1R^{10}$ (wherein Y^1 is
 $-C(O)-$, $-C(O)O-$ or $-C(O)NR^{11}-$ (wherein R^{11} represents hydrogen, C_{1-3} alkyl or
 C_{1-3} alkoxy C_{2-3} alkyl) and R^{10} is as defined in claim 2) and R^9 is as defined in claim
2 claim 2).

Claim 5 (previously presented): A compound according to claim 2 wherein R^1 ,
 R^2 and R^3 are each methyl.

Claim 6 (previously presented): A compound according to claim 2 wherein R^4 is
hydrogen.

Claim 7 (currently amended and reformatted): A compound according to claim 2
wherein R^6 is hydrogen, halogeno, amino, carboxy, hydroxy, C_{1-7} alkoxy or a group
 Y^4R^{35} , Y^4R^{35} wherein

Y^4 is $-C(O)-$, $-O-$ or $-OSO_2-$ and

R^{35} is C_{1-7} alkyl, C_{1-7} alkoxy (which alkyl or alkoxy may bear one or more substituents
selected from halogeno), R^{48} (wherein R^{48} is a benzyl group) or R^{53} (wherein R^{53} is

a 5-6-membered saturated heterocyclic group (linked via carbon or nitrogen) with 1-2 heteroatoms selected independently from O, S and N).

Claim 8 (previously presented): A compound according to claim 2 wherein R⁶ is hydrogen, C(O)OCH₃ or methoxy.

Claim 9 (currently amended and reformatted): A compound according to claim ~~claims~~ 2 wherein

R⁵ is hydrogen, halogeno, amino, carboxy, carbamoyl, C₁₋₇alkanoyl, C₁₋₇thioalkoxy, or a group -Y⁴R³⁵, -Y⁴R³⁶ wherein

Y⁴ is -C(O)-, -OC(O)-, -O-, -SO-, -OSO₂-, -NR³⁶-, -NR³⁷C(O)- or -C(O)NR³⁸- (wherein R³⁶, R³⁷ and R³⁸, which may be the same or different, each represents hydrogen, C₁₋₃alkyl or C₁₋₃alkoxyC₂₋₃alkyl) and

R³⁵ is a sugar moiety, a mono-peptide, a di-peptide, a tri-peptide, a tetra-peptide, C₁₋₇alkyl, C₁₋₇alkoxy, C₁₋₇alkanoyl, C₁₋₇alkanoylaminoC₁₋₇alkyl,

which ~~(which~~ alkyl, alkoxy, alkanoyl, alkanoylaminoalkyl may bear one or more substituents selected from: halogeno, amino, hydroxy, carboxy, and a group -Y⁵R⁴⁰, wherein -Y⁵R⁴⁰ (wherein

Y⁵ is -C(O)-O- or -O-C(O)- and

R⁴⁰ is C₁₋₇alkyl or a group R⁴¹ wherein R⁴¹ is a benzyl group, ~~group~~),

R⁴⁸, wherein R⁴⁸ (wherein R⁴⁸ is a phenyl group, a benzyl group or a 5-10-membered aromatic heterocyclic group (linked via carbon or nitrogen) with 1-4 heteroatoms selected independently from O, N and S, which phenyl, benzyl or aromatic heterocyclic group may bear one or more substituents selected from

hydroxy, fluoro, amino, C₁₋₄alkoxy, C₁₋₄hydroxyalkyl, C₁₋₄aminoalkyl, C₁₋₄alkylamino, di(C₁₋₄alkyl)amino, di(C₁₋₄alkyl)aminoC₁₋₄alkyl, di(C₁₋₄hydroxyalkyl)aminoC₁₋₄alkyl, di(C₁₋₄aminoalkyl)aminoC₁₋₄alkyl,

C_{1-4} hydroxyalkoxy, carboxy, C_{1-4} carboxyalkyl, cyano, $-CONR^{49}R^{50}$,
 $-NR^{51}COR^{52}$ (wherein R^{49} , R^{50} , R^{51} and R^{52} , which may be the same or
different, each represents hydrogen, C_{1-3} alkyl or C_{1-3} alkoxy C_{2-3} alkyl) and
 C_{1-4} alkyl R^{53} (wherein R^{53} is as defined herein), C_{1-7} alkyl R^{48} (wherein R^{48} is as
defined herein), R^{53} , wherein R^{53} (wherein

R^{53} is a 5-6-membered saturated heterocyclic group (linked via carbon or
nitrogen) with 1-2 heteroatoms, selected independently from O, S and N, which
heterocyclic group may bear 1 or 2 substituents selected from

oxo, hydroxy, fluoro, chloro, C_{1-4} alkyl, C_{1-4} hydroxyalkyl, C_{1-4} alkoxy,
 C_{1-4} carboxyalkyl, C_{1-4} aminoalkyl, di(C_{1-4} alkyl)amino C_{1-4} alkyl,
 C_{1-4} alkoxy C_{1-4} alkyl, C_{1-4} alkylsulphonyl C_{1-4} alkyl and R^{54} , wherein R^{54}
(wherein R^{54} is a 5-6-membered saturated heterocyclic group (linked via
carbon or nitrogen) with 1-2 heteroatoms, selected independently from O, S
and N, which heterocyclic group may bear 1 or 2 substituents selected from

oxo, hydroxy, halogeno, C_{1-4} alkyl, C_{1-4} hydroxyalkyl, C_{1-4} alkoxy,
 C_{1-4} alkoxy C_{1-4} alkyl and C_{1-4} alkylsulphonyl C_{1-4} alkyl
 C_{1-4} alkylsulphonyl C_{1-4} alkyl)), or

$(CH_2)_aY^6(CH_2)_bR^{53}$, wherein $(CH_2)_aY^6(CH_2)_bR^{53}$ (wherein

R^{53} is as defined herein,

a is 0, or an integer 1-4,

b is 0 or an integer 1-4 and

Y^6 represents a direct bond, $-O-$, $C(O)-$, $-NR^{55}-$, $-NR^{56}C(O)-$ or $-C(O)NR^{57}-$

(wherein R^{55} , R^{56} , and R^{57} , which may be the same or different, each

represents hydrogen, C_{1-3} alkyl or C_{1-3} alkoxy C_{2-3} alkyl),

and wherein one or more of the $(CH_2)_a$ or $(CH_2)_b$ groups may bear one or more
substituents selected from hydroxy, amino and halogeno halogeno);

with the proviso that R^3 is not alkoxy, substituted alkoxy (wherein R^5 is Y^4R^{35} and Y^4 is -O- and R^{35} is C_{1-7} alkyl bearing one or more substituents selected from the list given herein), -O- C_{1-7} alkanoyl or benzyloxy.

Claim 10 (original). A compound according to claim 2 selected from:

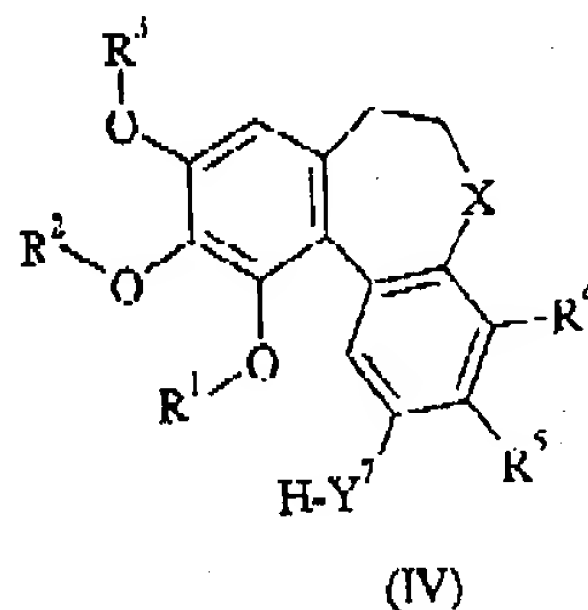
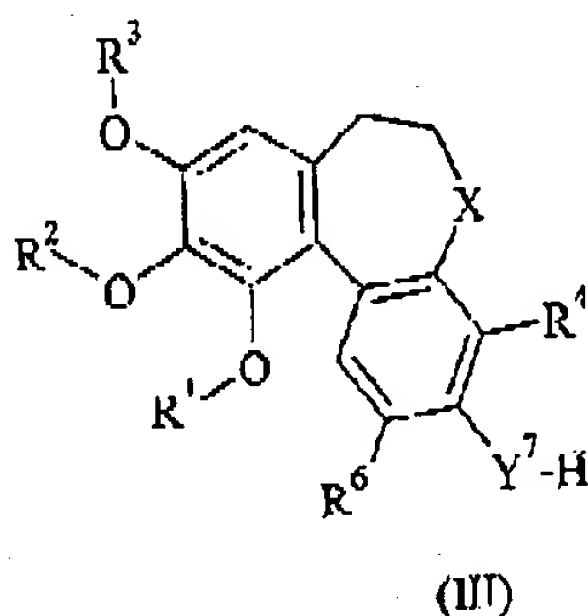
(5S)-5-(acetylamino)-9,10,11-trimethoxy-6,7-dihydro-5H-dibenzo[a,c]cyclohepten-3-yl
 3-[(2R)-2,6-diaminohexanoyl]amino} propanoate,
 (5S)-5-(acetylamino)-9,10,11-trimethoxy-6,7-dihydro-5H-dibenzo[a,c]cyclohepten-3-yl
 3-[(2-aminocetyl)amino]propanoate,
N-[(5S)-5-(acetylamino)-9,10,11-trimethoxy-6,7-dihydro-5H-dibenzo[a,c]cyclohepten-3-yl]oxymethyl)-2-morpholinoacetamide,
 (2S,3S,4S,5R,6R)-6-[(5S)-5-(acetylamino)-9,10,11-trimethoxy-6,7-dihydro-5H-dibenzo-
 [a,c]cyclohepten-3-yl]oxy}-3,4,5-trihydroxytetrahydro-2H-pyran-2-carboxylic acid,
N [(5S)-3-(4-{4-methylpiperazin-1-ylmethyl}phenylcarbonyloxy)-9,10,11-trimethoxy-6,
 7-dihydro-5H-dibenzo[a,c]cyclohepten-5-yl]acetamide,
N-(5S)-3-(4-{morpholinomethyl}phenylcarbonyloxy)-9,10,11-trimethoxy-6,7-dihydro-5
 H-dibenzo[a,c]cyclohepten-5-yl]acetamide,
 (5S)-5-(acetylamino)-9,10,11-trimethoxy-6,7-dihydro-5H-dibenzo[a,c]cyclohepten-3-yl
 3-[4-methylpiperazin-1-ylcarbonyl]propanoate,
 5-[(5S)-5-(acetylamino)-9,10,11-trimethoxy-6,7-dihydro-5H-dibenzo[a,c]cyclohepten-3-yl]oxycarbonyl]pentanoic acid,
 4-(3-[(5S)-5-(acetylamino)-9,10,11-trimethoxy-6,7-dihydro-5H-dibenzo[a,c]cyclohepten-3-yl]oxy-3-oxopropyl)benzoic acid and
 (2S)-*N*-[(5S)-5-(acetylamino)-9,10,11-trimethoxy-6,7-dihydro-5H-dibenzo[a,c]-
 cyclohepten-3-yl]-2-amino-3-hydroxypropanamide,
 and salts thereof.

Claim 11 (original): A compound according to claim 2 selected from
N-[(5*S*)-3-(4-{4 methylpiperazin-1-yl}methyl}phenylcarbonyloxy)-9,10,11-trimethoxy-6,7-dihydro-5*H*-dibenzo[*a,c*]cyclohepten-5-yl]acetamide and
 (2*S*)-*N*-[(5*S*)-5-(acetylamino)-9,10,11-trimethoxy-6,7-dihydro-5*H*-dibenzo[*a,c*]cyclohepten-3-yl]-2-amino-3 hydroxypropanamide,
 and salts thereof.

Claim 12 (original): A compound according to claim 2 selected from
 (2*S*)-*N*-[(5*S*)-5-(acetylamino)-9,10,11-trimethoxy-6,7-dihydro-5*H*-dibenzo[*a,c*]cyclohepten-3-yl]-2-amino-5-[(2-nitroethanimidoyl)amino]pentanamide
 and salts thereof.

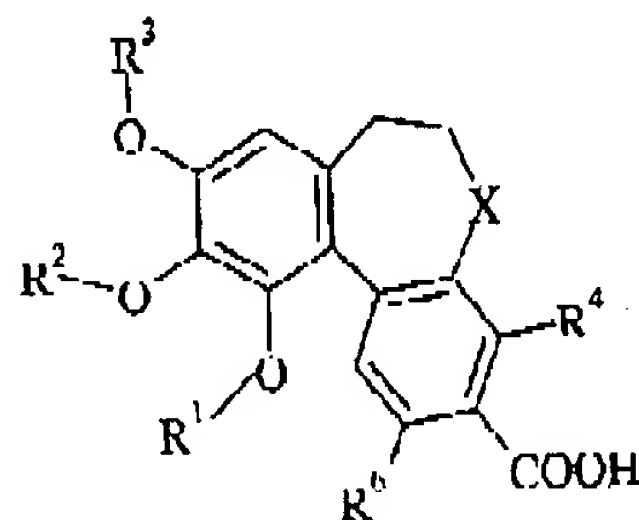
Claim 13. (original; previously formatted): A process for the manufacture of a compound of formula IIa as defined in claim 2 which comprises:

- (a) for the preparation of compounds of formula IIa and salts thereof in which R^5 or R^6 is a group Y^4R^{25} (wherein R^{25} is as defined in claim 2 and Y^4 is a group -OC(O)- or NHC(O)-), the reaction of a compound of formula III or IV:



(wherein X, R^1 , R^2 , R^3 , R^4 , R^5 , R^6 are as defined in claim 2 and Y^7 is O- or -NH-), by acylation or coupling reactions;

- (b) for the preparation of compounds of formula IIa and salts thereof in which R^5 or R^6 is a group Y^4R^{35} (wherein R^{35} is C_{1-7} alkoxy which may be substituted as defined in claim 2 and Y^4 is a group $-OC(O)-$ or $-NHC(O)-$), the reaction of a compound of formula III and IV, by acylation reactions;
- (c) for the preparation of compounds of formula IIa and salts thereof in which R^5 or R^6 is a group Y^4R^{35} (wherein R^{35} is amino C_{1-7} alkylamino, C_{1-7} alkylamino C_{1-7} alkylamino, di(C_{1-7} alkyl)amino C_{1-7} alkylamino and may be substituted as defined in claim 2, or is R^{33} (wherein R^{33} is as defined in claim 2) and Y^4 is a group $-OC(O)-$ or $-NHC(O)-$), the reaction of a compound of formula III or IV, acylation reactions;
- (d) for the preparation of compounds of formula IIa and salts thereof in which R^5 or R^6 is a group Y^4R^{35} (wherein R^{35} is a sugar moiety and Y^4 is a group $-O-$ or $-NH-$), the reaction of a compound of formula III or IV, glycosylation reactions;
- (e) for the preparation of compounds of formula IIa and salts thereof in which R^5 or R^6 is a group Y^4R^{35} (wherein R^{35} is sulphate and Y^4 is a group $-O-$ or $-NH-$), the reaction of a compound of formula III or IV, by sulphonylation reactions;
- (f) for the preparation of compounds of formula IIa and salts thereof in which R^5 or R^6 is a group Y^4R^{35} (wherein R^{35} is C_{1-7} alkylphosphate and may be substituted as defined in claim 2 and Y^4 is a group $-O-$ or $-NH-$), the reaction of a compound of formula III or IV, by phosphorylation reactions;
- (g) for the preparation of compounds of formula IIa and salts thereof in which R^5 is amino the reaction of a carboxylic acid of formula V:



(V)

(wherein X, R¹, R², R³, R⁴ and R⁶ are as defined in claim 2) via Curtius rearrangement and hydrolysis; and

(h) for the preparation of compounds of formula IIa and salts thereof in which R⁵ or R⁶ is chloro the reaction of a compound of formula III or IV by the Sandmeyer reaction; and when a pharmaceutically acceptable salt of a compound of formula IIa is required, reaction of the compound obtained with an acid or base whereby to obtain the desired pharmaceutically acceptable salt.

Claim 14 (original): A pharmaceutical composition which comprises as active ingredient a compound of formula IIa as defined in claim 2 or a pharmaceutically acceptable salt thereof in association with a pharmaceutically acceptable excipient or carrier.

Claim 15 (original): A method for producing a vascular damaging effect in a warm-blooded animal, such as a human being, in need of such treatment which comprises administering to said animal an effective amount of a compound of formula IIa or a pharmaceutically acceptable salt thereof as defined in claim 2.